

Title (en)

Process for the preparation of entacapone and intermediates thereof

Title (de)

Herstellungsverfahren für Entacapon und Zwischenprodukte davon

Title (fr)

Procédé de préparation d'entacapone et intermédiaires correspondants

Publication

EP 1978014 A1 20081008 (EN)

Application

EP 07105505 A 20070402

Priority

EP 07105505 A 20070402

Abstract (en)

New preparation process for the preparation of entacapone which comprises converting the 3,4-dimethoxy-5-nitrobenzoic acid into an activated derivative which is reacted with 2-cyano-N,N-diethylacetamide in the presence of a base to give 2-cyano-3-(3,4-dimethoxy-5-nitrophenyl)- N , N -diethyl-3-hydroxyacrylamide; reacting the resulting compound with MHB(OCOR) 3 where R is (C 1 -C 4)-alkyl, phenyl, or CF 3 ; and M is a sodium, potassium or ((C 1 -C 4)-alkyl) 4 N, in the presence of an appropriate solvent to give E -2-cyano-3-(3,4-dimethoxy-5-nitrophenyl)- N,N -diethylacrylamide; and finally submitting the compound obtained to a demethylation reaction to yield entacapone. Both 2-cyano-3-(3,4-dimethoxy-5-nitrophenyl)- N,N -diethyl-3-hydroxyacrylamide and E -2-cyano-3-(3,4-dimethoxy-5-nitrophenyl)- N,N -diethylacrylamide are new. Entacapone has industrial applicability as antiparkinson's agent.

IPC 8 full level

C07C 255/41 (2006.01); **C07C 253/30** (2006.01)

CPC (source: EP)

C07C 253/30 (2013.01); **C07C 255/41** (2013.01)

Citation (search report)

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